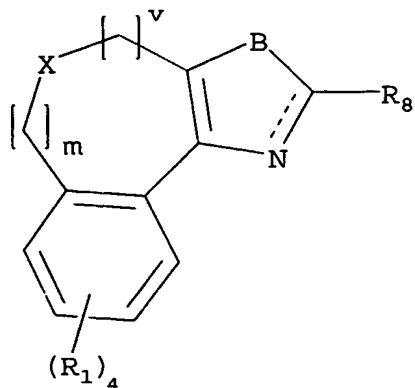


What is claimed is:

1. A compound having the structure:



wherein each R_1 is independently H, F, Cl, Br, -CN, -OH, -NO₂, -NR₅R₆, -SO₂R₅, - (CH₂)_nOR₅, - (CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, perfluoroalkyl, polyfluoroalkyl, aminoalkyl, or straight chained or branched C₁-C₇ alkyl;

wherein R_5 is independently H; or straight chained or branched C₁-C₇ alkyl;

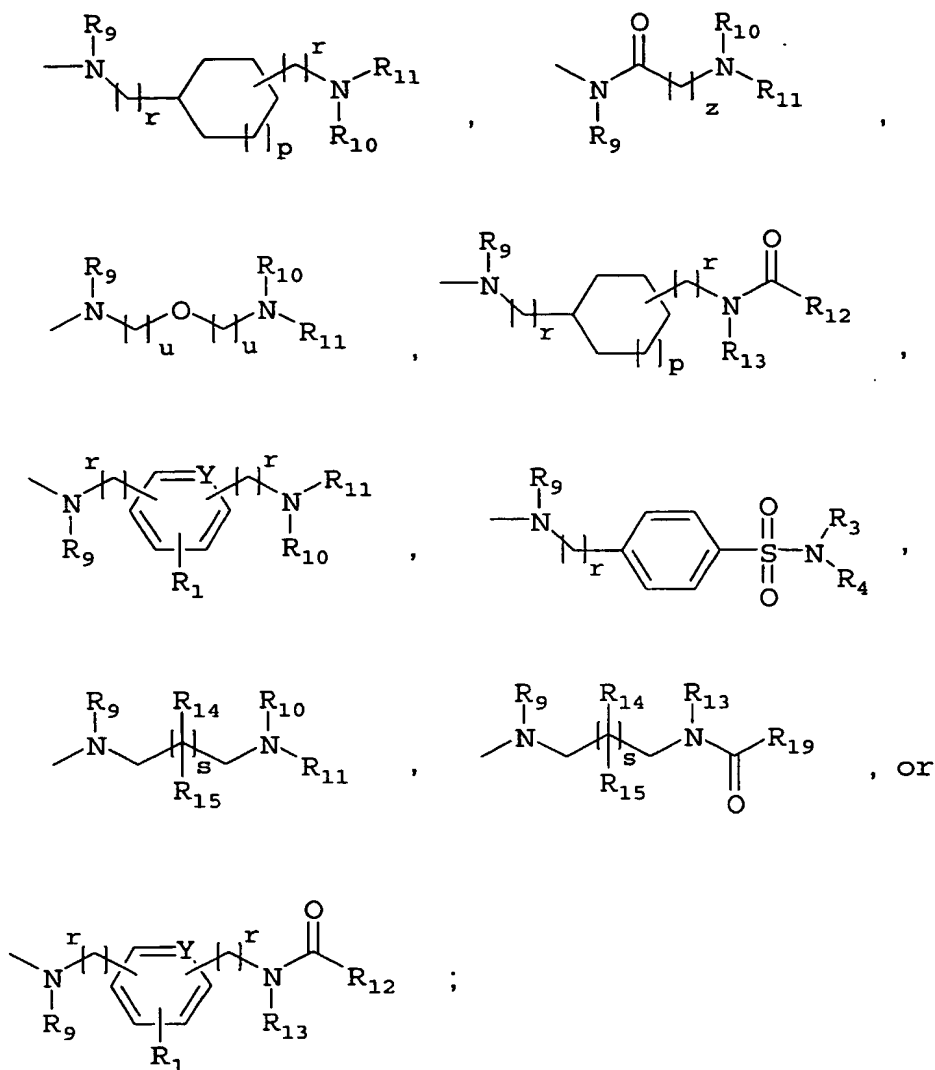
wherein R_6 is independently H; or straight chained or branched C₁-C₇ alkyl;

wherein B is O, NH or S;

wherein X is CHR₅, O or NR₅;

wherein each n independently is an integer from 0 to 6 inclusive;

wherein R_8 is



5 wherein Y is C or N;

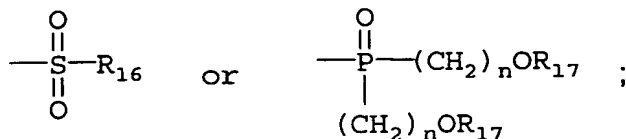
wherein R_7 is independently straight chained or branched C_1 - C_7 alkyl;

10 wherein R_9 is independently H; or straight chained or branched C_1 - C_4 alkyl;

259

wherein R_{10} is independently H; or straight chained or branched C_1 - C_4 alkyl;

wherein R_{11} is



wherein R_{12} is H, straight chained or branched C_1 - C_7 alkyl, $(\text{CH}_2)_n\text{OR}_{17}$, or $\text{O}(\text{CH}_2)_u\text{OR}_{17}$; provided that when X is O, R_{12} cannot be methyl;

wherein R_{13} is independently H; $-(\text{CH}_2)_u\text{OR}_5$; $-(\text{CH}_2)_t\text{CONR}_5\text{R}_6$; $-(\text{CH}_2)_u\text{NR}_5\text{COR}_5$; $-(\text{CH}_2)_t\text{COR}_7$; $-(\text{CH}_2)_t\text{CO}_2\text{R}_5$; $-(\text{CH}_2)_u\text{NR}_5\text{R}_6$; $-(\text{CH}_2)_u\text{CN}$; straight chained or branched C_1 - C_7 alkyl; C_1 - C_7 alkyl in which the C_2 - C_7 atoms may be optionally substituted with one or more F or Cl; C_3 - C_7 cycloalkyl- C_1 - C_7 alkyl; straight chained or branched C_2 - C_7 alkenyl or alkynyl; or C_3 - C_7 cycloalkyl; phenyl or C_1 - C_6 phenylalkyl; wherein the phenyl or C_1 - C_6 phenylalkyl may be substituted with one or more of F, Cl, $-\text{CN}$, $-\text{NO}_2$, $-\text{NR}_5\text{R}_6$, $-\text{SO}_2\text{R}_5$, $-(\text{CH}_2)_n\text{COR}_7$, $-(\text{CH}_2)_n\text{OR}_5$, $-(\text{CH}_2)_n\text{CONR}_5\text{R}_6$, $-(\text{CH}_2)_n\text{NR}_5\text{COR}_5$, $-(\text{CH}_2)_n\text{CO}_2\text{R}_5$, $-(\text{CH}_2)_n\text{SO}_2\text{NR}_5\text{R}_6$, straight chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl;

or R_{12} and R_{13} together with the amide linkage to which they are attached are pyrrolidinonyl, piperidonyl, or oxazolidinonyl; provided that when X is O, R_{12} and R_{13} cannot be oxazolidinonyl;

260

wherein R_{14} is H; straight chained or branched C_1 - C_4 alkyl; F; or $-(CH_2)_rOR_5$;

wherein R_{15} is H, straight chained or branched C_1 - C_4 alkyl, or F;

with the proviso that when R_{14} is -OH, R_{15} cannot be F;

wherein R_{16} is NR_3R_4 , perfluoroalkyl, unsubstituted straight chained or branched C_1 - C_7 alkyl, substituted straight chained or branched C_2 - C_7 alkyl, wherein the C_2 - C_7 alkyl may be substituted with one or more of F, Cl, -CN, $-NR_5R_6$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-(CH_2)_nCO_2R_5$, $-(CH_2)_nOCF_3$, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2 - C_7 alkenyl or alkynyl, or C_3 - C_7 cycloalkyl or cycloalkenyl; C_3 - C_7 cycloalkyl or cycloalkenyl; phenyl, heteroaryl, or C_1 - C_7 phenylalkyl, wherein the phenyl, heteroaryl, or C_1 - C_7 phenylalkyl may be substituted with one or more of F, Cl, Br, -CN, $-NO_2$, $-NR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nCO_2R_5$, $-(CH_2)_nSO_2NR_5R_6$, ethylenedioxy, methylenedioxy, straight chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2 - C_7 alkenyl or alkynyl, or C_3 - C_7 cycloalkyl or cycloalkenyl; quinolinyl, 1-naphthyl, 2-naphthyl, or 2,1,3-benzothiadiazolyl; wherein the quinolinyl, 1-naphthyl, 2-naphthyl or 2,1,3-benzothiadiazolyl may be substituted with one or more of F, Cl, Br, -CN, $-NO_2$, $-NR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nCO_2R_5$, $-(CH_2)_nSO_2NR_5R_6$, ethylenedioxy, methylenedioxy, straight

261

chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl;

5 with the proviso that when X is O and R_8 is $NR_9(CH_2)_uO(CH_2)_uNR_{10}R_{11}$, R_{16} cannot be methyl;

10 wherein R_3 is independently H; $-(CH_2)_uOR_5$; $-(CH_2)_tCONR_5R_6$; $-(CH_2)_uNR_5COR_5$; $-(CH_2)_tCOR_7$; $-(CH_2)_tCO_2R_5$; $-(CH_2)_uNR_5R_6$; $-(CH_2)_uCN$; straight chained or branched C_1 - C_7 alkyl; straight chained or branched C_2 - C_7 alkenyl or alkynyl; or C_3 - C_7 cycloalkyl or cycloalkenyl; phenyl, C_1 - C_6 phenylalkyl or C_1 - C_6 heteroarylalkyl; wherein the phenyl, C_1 - C_6 phenylalkyl, or C_1 - C_6 heteroarylalkyl may be substituted with one or more of F, Cl, Br, $-CN$, $-NO_2$, $-NR_5R_6$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-(CH_2)_nCO_2R_5$, $-(CH_2)_nSO_2NR_5R_6$, straight chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2 - C_7 alkenyl or alkynyl, or C_3 - C_7 cycloalkyl or cycloalkenyl;

25

30 wherein R_4 is independently H; $-(CH_2)_uOR_5$; $-(CH_2)_tCONR_5R_6$; $-(CH_2)_uNR_5COR_5$; $-(CH_2)_tCOR_7$; $-(CH_2)_tCO_2R_5$; $-(CH_2)_uNR_5R_6$; $-(CH_2)_uCN$; straight chained or branched C_1 - C_7 alkyl; straight chained or branched C_2 - C_7 alkenyl or alkynyl; or C_3 - C_7 cycloalkyl or cycloalkenyl; phenyl or C_1 - C_6 phenylalkyl; wherein the phenyl or C_1 - C_6 phenylalkyl may be substituted with one or more of F, Cl, Br, $-CN$, $-NO_2$, $-NR_5R_6$,

262

-SO₂R₅, - (CH₂)_nCOR₇, - (CH₂)_nOR₅,
 (CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, - (CH₂)_nCO₂R₅,
 (CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇
 alkyl, perfluoroalkyl, polyfluoroalkyl, or
 aminoalkyl, straight chained or branched C₂-C₇ alkenyl
 or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

or R₃ and R₄ taken together with the nitrogen atom to
 which they are attached are 1-azetidiny, 1-
 pyrrolidinyl, 1-piperidinyl, or 1H-azepanyl, wherein
 the 1-azetidiny, 1-pyrrolidinyl, 1-piperidinyl, or
 1H-azepanyl is substituted with one or more of
 F, -CN, - (CH₂)_nNR₅R₆, -SO₂R₅, - (CH₂)_nCOR₇,
 (CH₂)_nOR₅, - (CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, - (CH₂)_nCO₂R₅,
 straight chained or branched C₁-C₇ alkyl,
 perfluoroalkyl, polyfluoroalkyl, or aminoalkyl,
 straight chained or branched C₂-C₇ alkenyl or alkynyl,
 or C₃-C₇ cycloalkyl or cycloalkenyl, or phenyl or
 heteroaryl; wherein if - (CH₂)_nNR₅R₆, - (CH₂)_nOR₅, or -
 (CH₂)_nNR₅COR₅ are in the 2-position, then n is not 0;
 wherein the phenyl or heteroaryl may be substituted
 with one or more of F, Cl, Br, -CN, -NO₂,
 NR₅R₆, -SO₂R₅, - (CH₂)_nCOR₇, - (CH₂)_nOR₅,
 (CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, - (CH₂)_nCO₂R₅,
 (CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇
 alkyl, perfluoroalkyl, polyfluoroalkyl, or
 aminoalkyl, straight chained or branched C₂-C₇ alkenyl
 or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

or R₃ and R₄ taken together with the nitrogen atom to
 which they are attached are morpholinyl,
 thiomorpholinyl, [1,4]oxazepanyl, [1,4]thiazepanyl,
 piperazinyl, or [1,4]diazepanyl, wherein the
 morpholinyl, thiomorpholinyl, [1,4]oxazepanyl,

263

[1,4]thiazepanyl, piperazinyl, or
 [1,4]diazepanyl is optionally substituted with
 straight chained or branched C_1-C_5 alkyl or $(CH_2)_tOR_5$;
 and wherein the nitrogen atom of the piperazinyl or
 5 [1,4]diazepanyl ring may be optionally substituted
 with $-(CH_2)_uOR_5$; $-COR_5$; straight chained or branched
 C_1-C_5 alkyl; or phenyl; wherein the phenyl may be
 substituted with one or more of F, Cl, Br, $-CN$, $-NO_2$,
 $-NR_5R_6$, $-(CH_2)_nOR_5$, straight chained or branched C_1-C_3
 10 alkyl, perfluoroalkyl, polyfluoroalkyl, or
 aminoalkyl;

wherein R_{17} is H, straight chained or branched C_1-C_4
 alkyl, perfluoroalkyl, or polyfluoroalkyl;

15 wherein R_{19} is $(CH_2)_nOR_5$, NR_5R_6 , phenyl, or heteroaryl,
 wherein the phenyl or heteroaryl may be substituted
 with one or more of F, Cl, Br, $-CN$, $-NO_2$,
 NR_5R_6 , $-(CH_2)_nNR_5COR_5$, $-SO_2R_5$, $-(CH_2)_nCOR_7$,
 20 $(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nCO_2R_5$,
 $(CH_2)_nSO_2NR_5R_6$, ethylenedioxy, methylenedioxy, straight
 chained or branched C_1-C_7 alkyl, perfluoroalkyl,
 polyfluoroalkyl, or aminoalkyl, straight chained or
 branched C_2-C_7 alkenyl or alkynyl, or C_3-C_7 cycloalkyl
 25 or cycloalkenyl;

wherein m is 0 or 1;

30 wherein each p independently is an integer from 0 to
 2 inclusive;

wherein each r independently is an integer from 0 to
 3 inclusive;

wherein each ²⁶⁴s independently is an integer from 1 to 6 inclusive;

wherein t is an integer from 1 to 4 inclusive;

wherein each u independently is an integer from 2 to 4 inclusive;

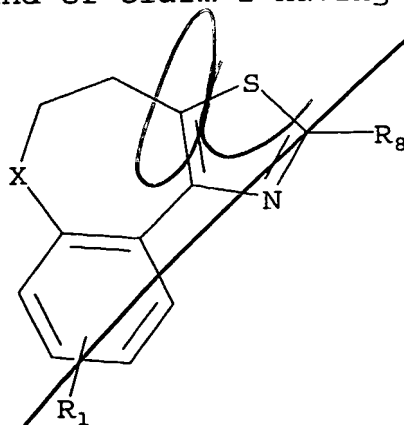
wherein v is 1 or 2;

with the proviso that when v is 2, m is 0;

wherein z is an integer from 2 to 7;

or a pharmaceutically acceptable salt thereof.

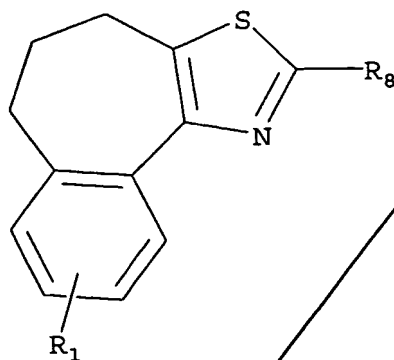
2. The compound of claim 1, wherein the compound comprises the (+) enantiomer.
3. The compound of claim 1, wherein the compound comprises the (-) enantiomer.
4. The compound of claim 1 having the structure:



wherein X is CR₅R₆, O or NR₅.

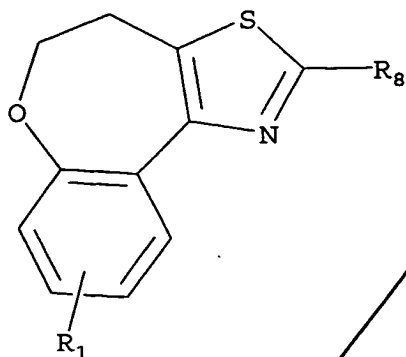
265

5. The compound of claim 4 having the structure:

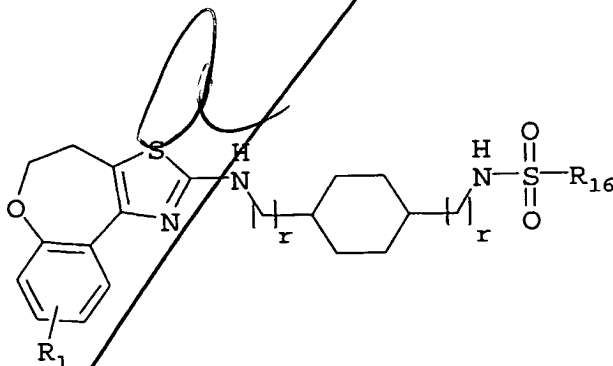


266

6. The compound of claim 4 having the structure:

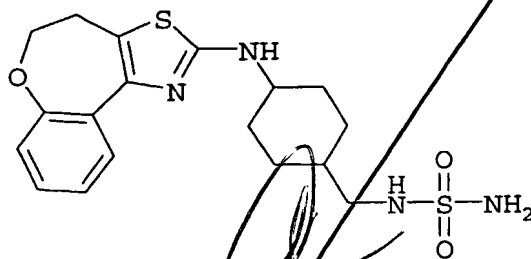
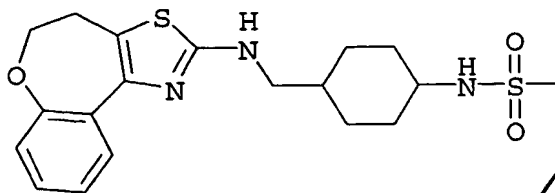
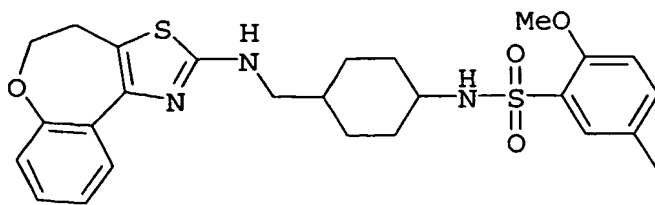


7. The compound of claim 6 having the structure:

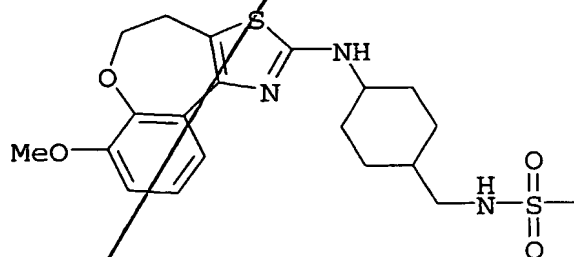


267

8. The compound of claim 7 wherein the compound is selected from the group consisting of:

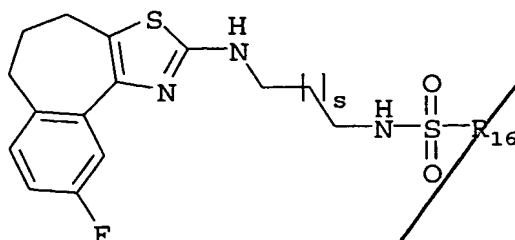


, and



268

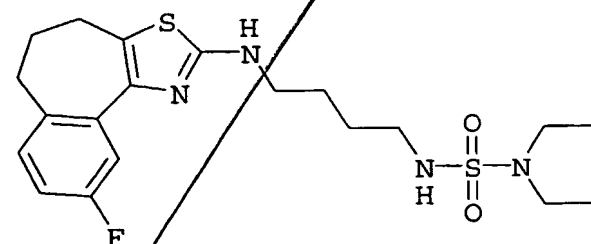
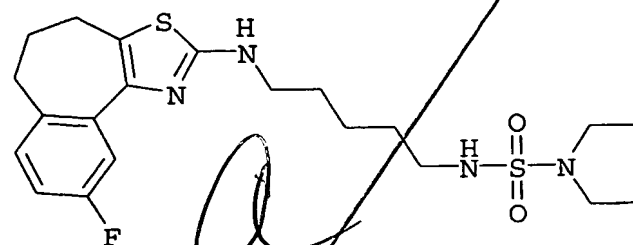
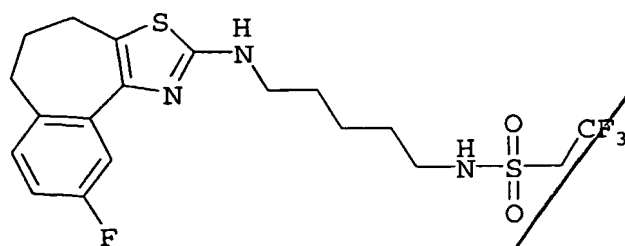
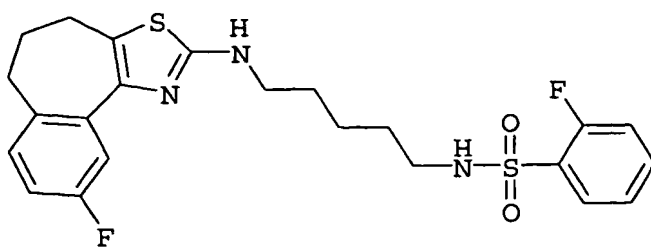
9. The compound of claim 5 having the structure:

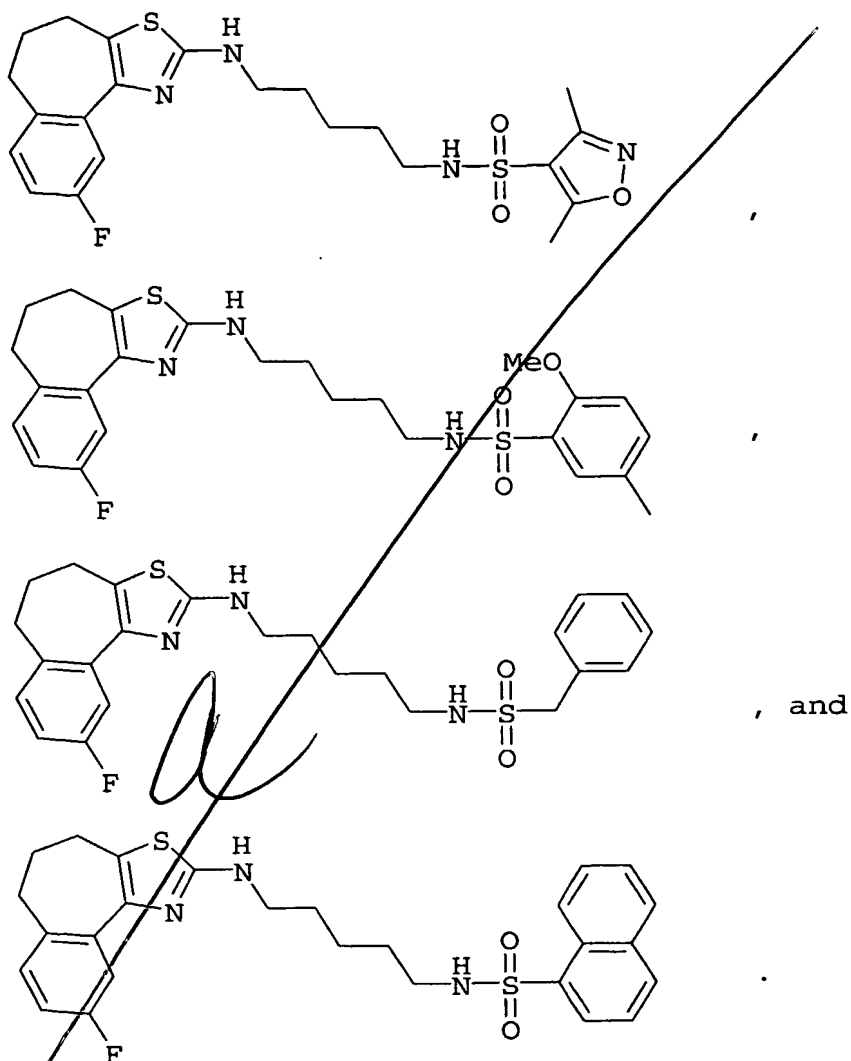


wherein s is 2, 3 or 4.

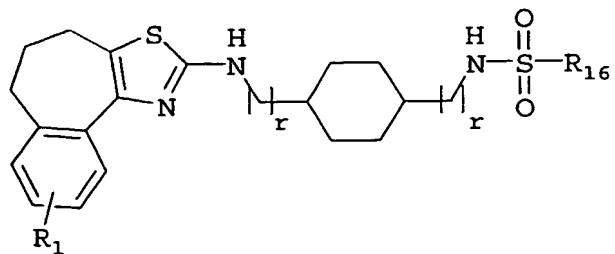
269

10. The compound of claim 9 selected from the group consisting of:



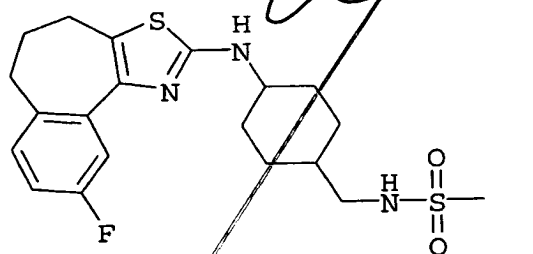
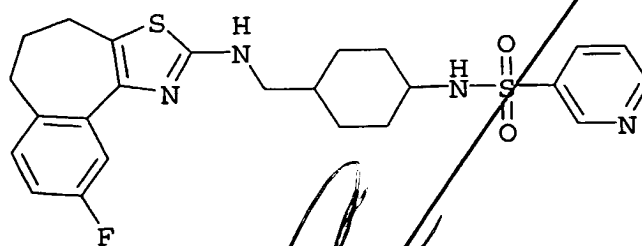
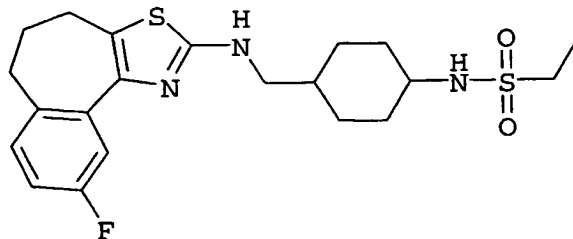


11. The compound of claim 5 having the structure:

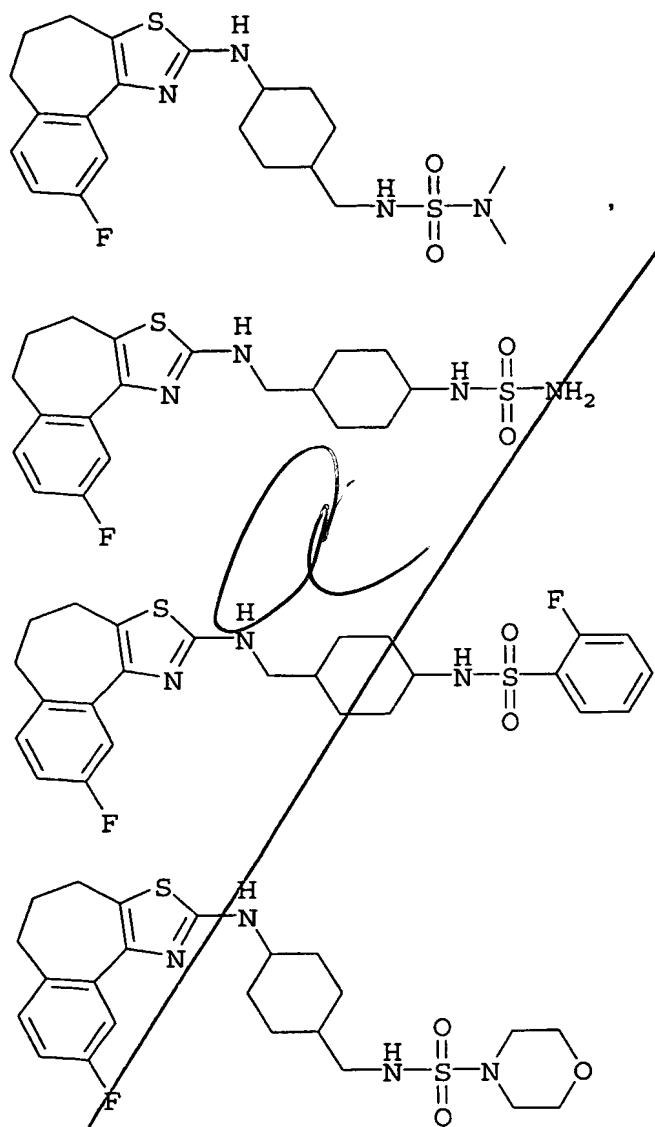


271

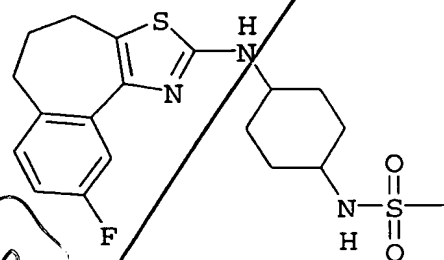
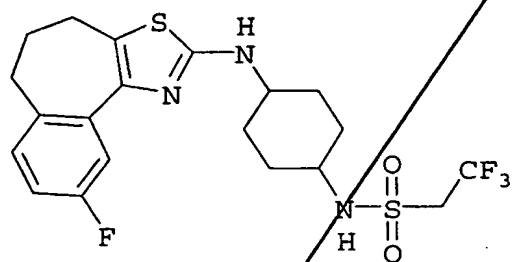
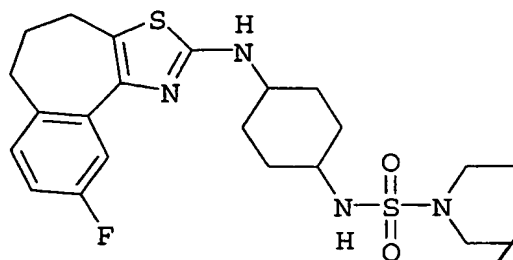
12. The compound of claim 11 selected from the group consisting of:



1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20	21	22	23	24	25	26	27	28	29	30	31	32	33	34	35	36	37	38	39	40	41	42	43	44	45	46	47	48	49	50	51	52	53	54	55	56	57	58	59	60	61	62	63	64	65	66	67	68	69	70	71	72	73	74	75	76	77	78	79	80	81	82	83	84	85	86	87	88	89	90	91	92	93	94	95	96	97	98	99	100
1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20	21	22	23	24	25	26	27	28	29	30	31	32	33	34	35	36	37	38	39	40	41	42	43	44	45	46	47	48	49	50	51	52	53	54	55	56	57	58	59	60	61	62	63	64	65	66	67	68	69	70	71	72	73	74	75	76	77	78	79	80	81	82	83	84	85	86	87	88	89	90	91	92	93	94	95	96	97	98	99	100

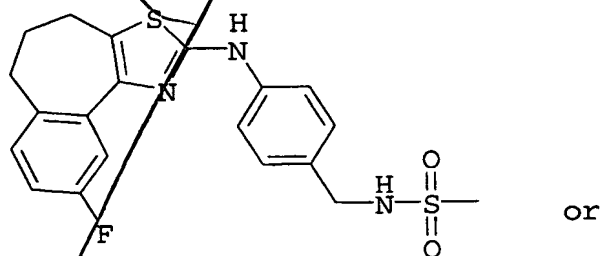
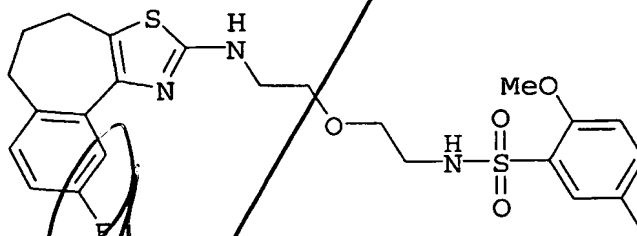
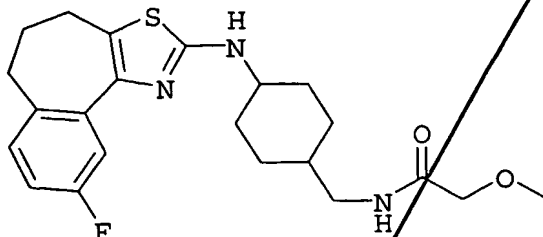
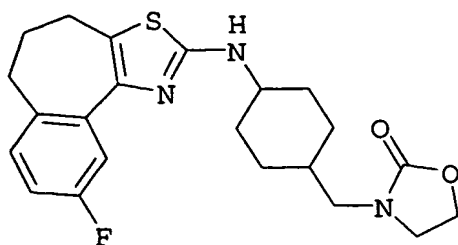


273

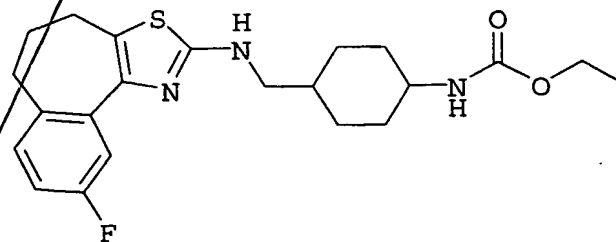


, and

13. The compound of claim 5 having the structure:

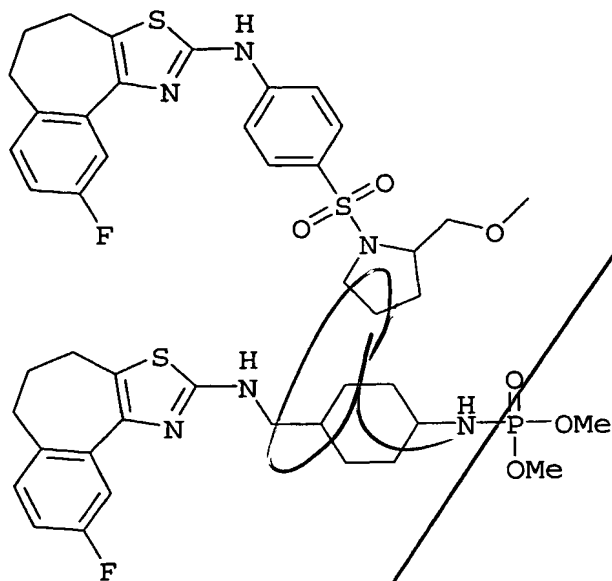


or

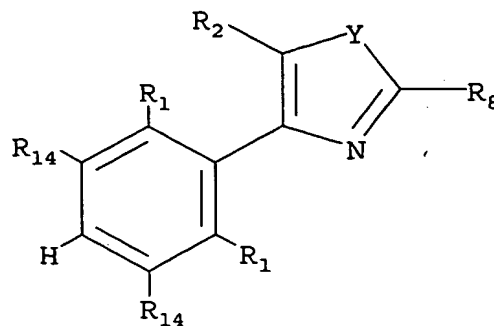


275

14. The compound of claim 1 selected from the group consisting of:



276
 15. ✓ A compound having the structure:



wherein Y is O, S or NH;

5 wherein each R_{14} independently is H, F, Cl, Br, -CN, -OH, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, -SO₂C₆H₅, -SO₂NR₅R₆, -C₆H₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, ethylenedioxy, methylenedioxy, perfluoroalkyl, polyfluoroalkyl, aminoalkyl, or straight chained or
 10 branched C₁-C₇ alkyl; or phenyl, heteroaryl, or C₁-C₇ phenylalkyl, wherein the phenyl, heteroaryl, or C₁-C₇ phenylalkyl may be substituted with one or more of F, Cl, Br, -CF₃, -CN, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, or straight chained or branched C₁-C₄ alkyl;
 15 provided that if one R_{14} is phenyl, heteroaryl or C₁-C₇ phenylalkyl, the other R_{14} is H;

wherein each R_1 independently is H, F, Cl, Br, -CN, -OH, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, -SO₂C₆H₅,
 20 -SO₂NR₅R₆, -C₆H₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, ethylenedioxy, methylenedioxy, perfluoroalkyl, polyfluoroalkyl, aminoalkyl, or straight chained or branched C₁-C₇ alkyl; or phenyl, heteroaryl, or C₁-C₇ phenylalkyl, wherein the phenyl, heteroaryl, or C₁-C₇ phenylalkyl may be substituted with one or more of F,
 25 Cl, Br, -CF₃, -CN, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, or straight chained or branched C₁-C₄ alkyl;

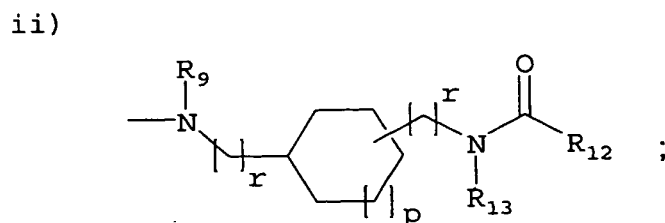
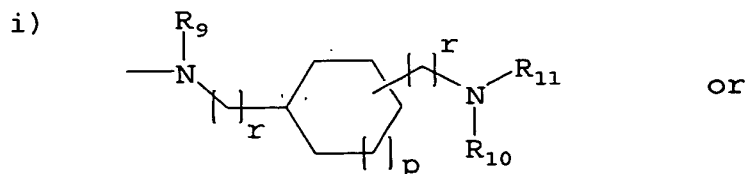
wherein R_2 is H, straight chained or branched C_1 - C_4 alkyl, $-(CH_2)_tOR_5$, phenyl optionally substituted with one or more of F, Cl, Br, $-CF_3$, $-CN$, $-NO_2$, $-NR_5R_6$, $-SO_2R_5$, $-(CH_2)_nOR_5$, or straight chained or branched C_1 - C_4 alkyl;

wherein R_5 is independently H; or straight chained or branched C_1 - C_7 alkyl;

wherein R_6 is independently H; or straight chained or branched C_1 - C_7 alkyl;

wherein each n independently is an integer from 0 to 6 inclusive;

wherein R_8 is

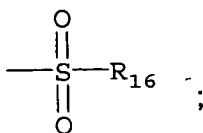


provided that R_1 or R_{14} cannot be $-OH$, when R_8 is (ii);

wherein R_9 is independently H; or straight chained or branched C_1 - C_4 alkyl;

5 wherein R_{10} is independently H; or straight chained or branched C_1 - C_4 alkyl;

wherein R_{11} is



10

wherein R_{12} is H, straight chained or branched C_1 - C_7 alkyl; or $(\text{CH}_2)_n\text{OR}_{17}$;

15

wherein R_{13} is independently $-(\text{CH}_2)_u\text{OR}_5$; $-(\text{CH}_2)_t\text{CONR}_5\text{R}_6$; $-(\text{CH}_2)_u\text{NR}_5\text{COR}_5$; $-(\text{CH}_2)_t\text{COR}_7$; $-(\text{CH}_2)_t\text{CO}_2\text{R}_5$; $-(\text{CH}_2)_u\text{NR}_5\text{R}_6$; $-(\text{CH}_2)_u\text{CN}$; straight chained or branched C_1 - C_7 alkyl; C_1 - C_7 alkyl in which the C_2 - C_7 atoms may be optionally substituted with one or more F or Cl; C_3 - C_7 cycloalkyl- C_1 - C_7 alkyl; straight chained or branched C_2 - C_7 alkenyl or alkynyl; or C_3 - C_7 cycloalkyl; phenyl or C_1 - C_6 phenylalkyl; wherein the phenyl or C_1 - C_6 phenylalkyl may be substituted with one or more of F, Cl, $-\text{CN}$, $-\text{NO}_2$, $-\text{NR}_5\text{R}_6$, $-\text{SO}_2\text{R}_5$, $-(\text{CH}_2)_n\text{COR}_7$, $-(\text{CH}_2)_n\text{OR}_5$, $-(\text{CH}_2)_n\text{CONR}_5\text{R}_6$, $-(\text{CH}_2)_n\text{NR}_5\text{COR}_5$, $-(\text{CH}_2)_n\text{CO}_2\text{R}_5$, $-(\text{CH}_2)_n\text{SO}_2\text{NR}_5\text{R}_6$, or straight chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl;

25

or R_{12} and R_{13} together with the amide linkage to which they are attached are pyrrolidinonyl, piperidonyl, or oxazolidinonyl;

5 wherein R_7 is independently straight chained or branched C_1 - C_7 alkyl;

wherein R_{16} is NR_3R_4 , perfluoroalkyl, unsubstituted straight chained or branched C_1 - C_7 alkyl, substituted straight chained or branched C_2 - C_7 alkyl, wherein the C_2 - C_7 alkyl may be substituted with one or more of F, Cl, -CN, - NR_5R_6 , - SO_2R_5 , - $(CH_2)_nCOR_7$, - $(CH_2)_nOR_5$, - $(CH_2)_nCONR_5R_6$, - $(CH_2)_nNR_5COR_5$, - $(CH_2)_nCO_2R_5$, - $(CH_2)_nOCF_3$, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2 - C_7 alkenyl or alkynyl, or C_3 - C_7 cycloalkyl or cycloalkenyl; C_3 - C_7 cycloalkyl or cycloalkenyl; or phenyl, heteroaryl, or C_1 - C_7 phenylalkyl, wherein the phenyl, heteroaryl, or C_1 - C_7 phenylalkyl may be substituted with one or more of F, Cl, Br, I, -CN, - NO_2 , - NR_5R_6 , - $(CH_2)_nNR_5COR_5$, - SO_2R_5 , - $(CH_2)_nCOR_7$, - $(CH_2)_nOR_5$, - $(CH_2)_nCONR_5R_6$, - $(CH_2)_nCO_2R_5$, - $(CH_2)_nSO_2NR_5R_6$, ethylenedioxy, methylenedioxy, straight chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2 - C_7 alkenyl or alkynyl, or C_3 - C_7 cycloalkyl or cycloalkenyl; quinolinyl, 1-naphthyl, 2-naphthyl, or 2,1,3-benzothiadiazolyl; wherein the quinolinyl, 1-naphthyl, 2-naphthyl or 2,1,3-benzothiadiazolyl may be substituted with one or more of F, Cl, Br, I, -CN, - NO_2 , - NR_5R_6 , - $(CH_2)_nNR_5COR_5$, - SO_2R_5 , - $(CH_2)_nCOR_7$, - $(CH_2)_nOR_5$, - $(CH_2)_nCONR_5R_6$, - $(CH_2)_nCO_2R_5$, - $(CH_2)_nSO_2NR_5R_6$, ethylenedioxy, methylenedioxy, or straight chained or

branched C₁-C₇ alkyl, perfluoroalkyl,
polyfluoroalkyl, or aminoalkyl;

wherein R₃ is independently H; - (CH₂)_uOR₅; -
5 (CH₂)_tCONR₅R₆; - (CH₂)_uNR₅COR₅; - (CH₂)_tCOR₇; -
(CH₂)_tCO₂R₅; - (CH₂)_uNR₅R₆; - (CH₂)_uCN; straight chained or
branched C₁-C₇ alkyl; straight chained or branched C₂-
C₇ alkenyl or alkynyl; or C₃-C₇ cycloalkyl or
cycloalkenyl; or phenyl, C₁-C₆ phenylalkyl, or C₁-C₆
10 heteroarylalkyl; wherein the phenyl, C₁-C₆
phenylalkyl, or C₁-C₆ heteroarylalkyl may be
substituted with one or more of F, Cl, Br, -CN, -
NO₂, -NR₅R₆, -SO₂R₅, - (CH₂)_nCOR₇, - (CH₂)_nOR₅,
- (CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, - (CH₂)_nCO₂R₅, -
15 (CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇
alkyl, perfluoroalkyl, polyfluoroalkyl, or
aminoalkyl, straight chained or branched C₂-C₇ alkenyl
or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

wherein R₄ is independently H; - (CH₂)_uOR₅; -
20 (CH₂)_tCONR₅R₆; - (CH₂)_uNR₅COR₅; - (CH₂)_tCOR₇; -
(CH₂)_tCO₂R₅; - (CH₂)_uNR₅R₆; - (CH₂)_uCN; straight chained or
branched C₁-C₇ alkyl; straight chained or branched C₂-
C₇ alkenyl or alkynyl; or C₃-C₇ cycloalkyl or
cycloalkenyl; or phenyl or C₁-C₆ phenylalkyl; wherein
25 the phenyl or C₁-C₆ phenylalkyl may be substituted
with one or more of F, Cl, Br, -CN, -NO₂, -
NR₅R₆, -SO₂R₅, - (CH₂)_nCOR₇, - (CH₂)_nOR₅, -
(CH₂)_nCONR₅R₆, - (CH₂)_nNR₅COR₅, - (CH₂)_nCO₂R₅, -
30 (CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇
alkyl, perfluoroalkyl, polyfluoroalkyl, or
aminoalkyl, straight chained or branched C₂-C₇ alkenyl
or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

281

or R_3 and R_4 taken together with the nitrogen atom to which they are attached are 1-azetidiny1, 1-pyrrolidinyl, 1-piperidinyl, or 1H-azepanyl, wherein the 1-azetidiny1, 1-pyrrolidinyl, 1-piperidinyl, or 1H-azepanyl is substituted with one or more of F, -CN, $-(CH_2)_nNR_5R_6$, $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-(CH_2)_nCO_2R_5$, straight chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2 - C_7 alkenyl or alkynyl, or C_3 - C_7 cycloalkyl or cycloalkenyl, or phenyl or heteroaryl; wherein if $-(CH_2)_nNR_5R_6$, $-(CH_2)_nOR_5$, or $-(CH_2)_nNR_5COR_5$ are in the 2-position, then n is not 0; wherein the phenyl or heteroaryl may be substituted with one or more of F, Cl, Br, I, -CN, $-NO_2$, NR_5R_6 , $-SO_2R_5$, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-(CH_2)_nCO_2R_5$, $-(CH_2)_nSO_2NR_5R_6$, straight chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2 - C_7 alkenyl or alkynyl, or C_3 - C_7 cycloalkyl or cycloalkenyl;

or R_3 and R_4 taken together with the nitrogen atom to which they are attached are morpholinyl, thiomorpholinyl, [1,4]oxazepanyl, [1,4]thiazepanyl, piperazinyl, or [1,4]diazepanyl, wherein the morpholinyl, thiomorpholinyl, [1,4]oxazepanyl, [1,4]thiazepanyl, piperazinyl, or [1,4]diazepanyl is optionally substituted with straight chained or branched C_1 - C_5 alkyl or $(CH_2)_tOR_5$; and wherein the nitrogen atom of the piperazinyl or [1,4]diazepanyl ring may be optionally substituted with $-(CH_2)_uOR_5$; $-COR_5$; straight chained or branched C_1 - C_5 alkyl; or phenyl; wherein the phenyl may be substituted with

282

one or more of F, Cl, Br, -CN, -NO₂, -NR₅R₆, -
(CH₂)_nOR₅, straight chained or branched C₁-C₃ alkyl,
perfluoroalkyl, polyfluoroalkyl, or aminoalkyl;

5 wherein R₁₇ is straight chained or branched C₁-C₄
alkyl, perfluoroalkyl, or polyfluoroalkyl;

wherein each p independently is an integer from 0 to
2 inclusive;

10 wherein each r independently is an integer from 0 to
3 inclusive;

wherein t is an integer from 1 to 4 inclusive;

15 wherein each u independently is an integer from 2 to
4 inclusive;

or a pharmaceutically acceptable salt thereof.

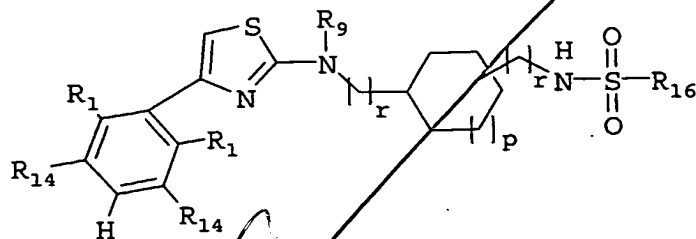
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16. The compound of claim 15, wherein the compound
comprises the (+) enantiomer.

25 17. The compound of claim 15, wherein the compound
comprises the (-) enantiomer.

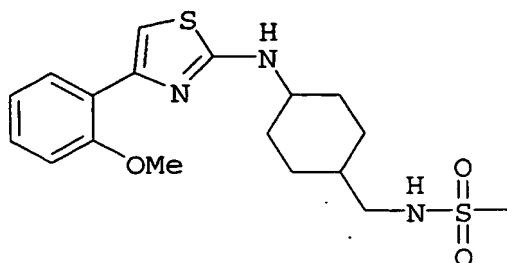
18. The compound of claim 15 having the structure:

283

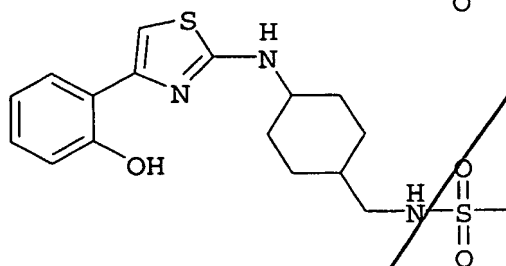


284

19. The compound of claim 18 selected from the group consisting of:

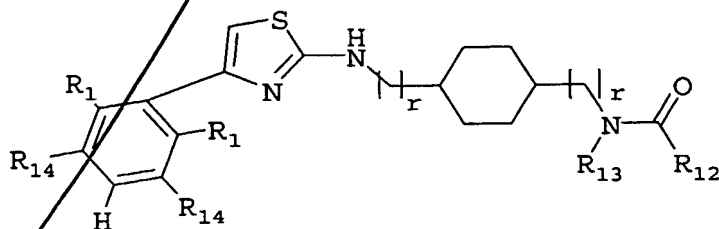


and



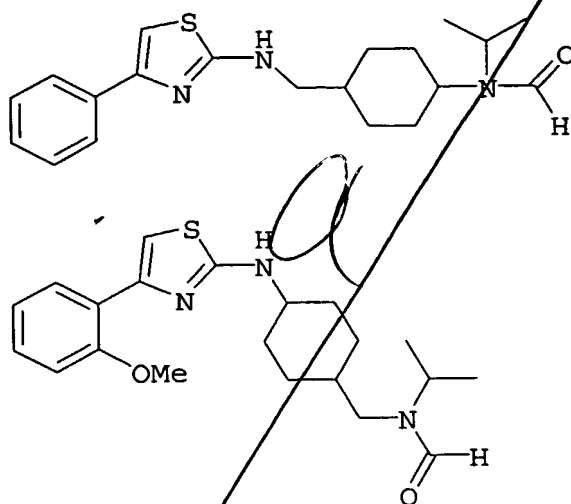
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20. The compound of claim 15 having the structure:

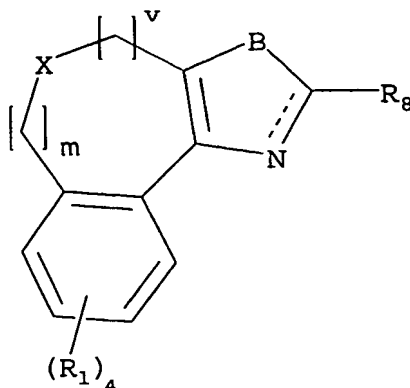


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21. The compound of claim 20 selected from the group consisting of:



22/ A compound having the structure:



wherein each R_1 is independently H, F, Cl, Br, -CN, -OH, -NO₂, -NR₅R₆, -SO₂R₅, -(CH₂)_nOR₅, (CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, perfluoroalkyl, polyfluoroalkyl, aminoalkyl, or straight chained or branched C₁-C₇ alkyl;

wherein R₅ is independently H; or straight chained or branched C₁-C₇ alkyl;

wherein R₆ is independently H; or straight chained or branched C₁-C₇ alkyl;

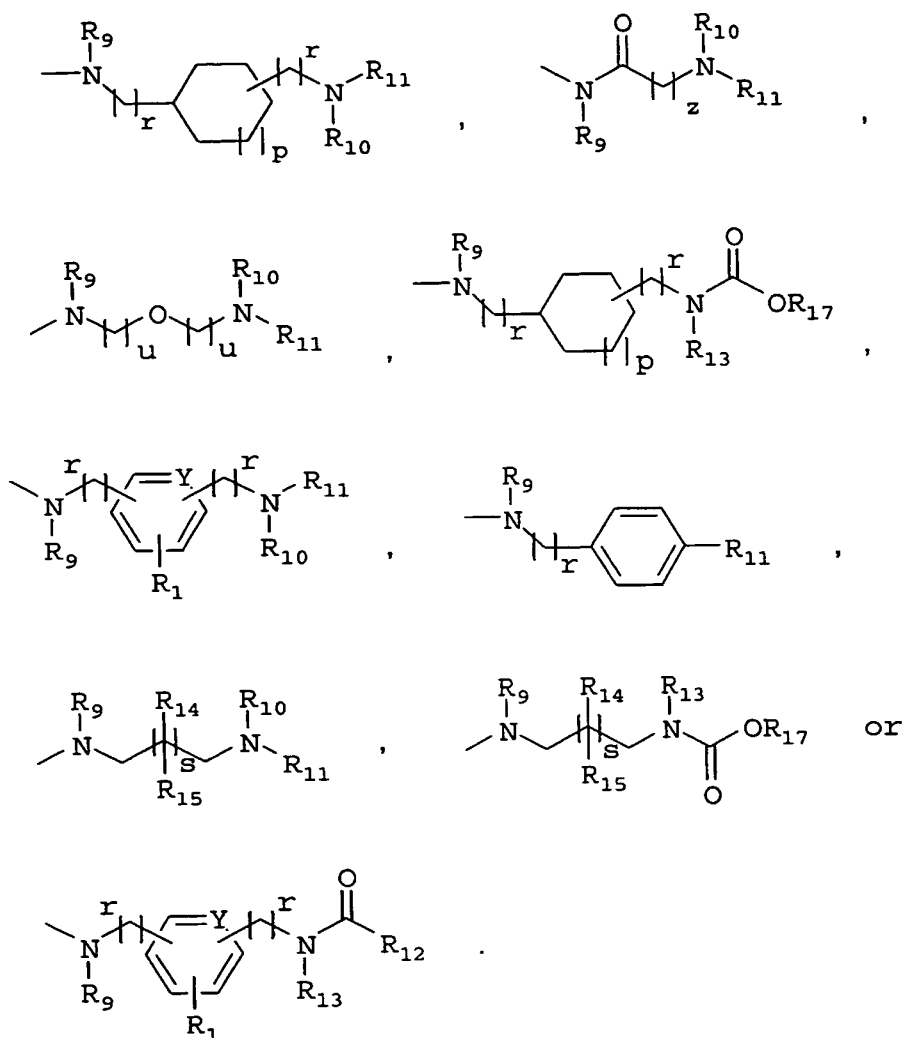
wherein B is O, NH or S;

wherein X is S, SO or SO₂;

wherein each n independently is an integer from 0 to 6 inclusive;

287

wherein R_8 is



5

wherein Y is C or N;

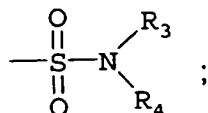
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wherein R_7 is independently straight chained or branched C_1 - C_7 alkyl;

wherein R_9 is independently H; or straight chained or branched C_1 - C_4 alkyl;

wherein R_{10} is ²⁸⁸ independently H; or straight chained or branched C_1 - C_4 alkyl;

wherein R_{11} is



wherein R_{12} is H, straight chained or branched C_1 - C_7 alkyl, $(\text{CH}_2)_n\text{OR}_{17}$, or $\text{O}(\text{CH}_2)_u\text{OR}_{17}$;

wherein R_{13} is independently H; $-(\text{CH}_2)_u\text{OR}_5$; $-(\text{CH}_2)_t\text{CONR}_5\text{R}_6$; $-(\text{CH}_2)_u\text{NR}_5\text{COR}_5$; $-(\text{CH}_2)_t\text{COR}_7$; $-(\text{CH}_2)_t\text{CO}_2\text{R}_5$; $-(\text{CH}_2)_u\text{NR}_5\text{R}_6$; $-(\text{CH}_2)_u\text{CN}$; straight chained or branched C_1 - C_7 alkyl; C_1 - C_7 alkyl in which the C_2 - C_7 atoms may be optionally substituted with one or more F or Cl; C_3 - C_7 cycloalkyl- C_1 - C_7 alkyl; straight chained or branched C_2 - C_7 alkenyl or alkynyl; or C_3 - C_7 cycloalkyl; phenyl or C_1 - C_6 phenylalkyl; wherein the phenyl or C_1 - C_6 phenylalkyl may be substituted with one or more of F, Cl, $-\text{CN}$, $-\text{NO}_2$, $-\text{NR}_5\text{R}_6$, $-\text{SO}_2\text{R}_5$, $-(\text{CH}_2)_n\text{COR}_7$, $-(\text{CH}_2)_n\text{OR}_5$, $-(\text{CH}_2)_n\text{CONR}_5\text{R}_6$, $-(\text{CH}_2)_n\text{NR}_5\text{COR}_5$, $-(\text{CH}_2)_n\text{CO}_2\text{R}_5$, $-(\text{CH}_2)_n\text{SO}_2\text{NR}_5\text{R}_6$, straight chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl;

or R_{12} and R_{13} together with the amide linkage to which they are attached are pyrrolidinonyl, piperidonyl, or oxazolidinonyl;

wherein R_{14} is H; straight chained or branched C_1 - C_4 alkyl; F; or $-(\text{CH}_2)_r\text{OR}_5$;

wherein R_{15} is H, straight chained or
branched C_1 - C_4 alkyl, or F;

with the proviso that when R_{14} is -OH, R_{15} cannot be F;

5

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15

20

wherein R_3 is independently H; $-(CH_2)_uOR_5$; $-(CH_2)_tCONR_5R_6$; $-(CH_2)_uNR_5COR_5$; $-(CH_2)_tCOR_7$; $-(CH_2)_tCO_2R_5$; $-(CH_2)_uNR_5R_6$; $-(CH_2)_uCN$; straight chained or branched C_1 - C_7 alkyl; straight chained or branched C_2 - C_7 alkenyl or alkynyl; or C_3 - C_7 cycloalkyl or cycloalkenyl; phenyl, C_1 - C_6 phenylalkyl or C_1 - C_6 heteroarylalkyl; wherein the phenyl, C_1 - C_6 phenylalkyl, or C_1 - C_6 heteroarylalkyl may be substituted with one or more of F, Cl, Br, -CN, -NO₂, -NR₅R₆, -SO₂R₅, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-(CH_2)_nCO_2R_5$, $-(CH_2)_nSO_2NR_5R_6$, straight chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C_2 - C_7 alkenyl or alkynyl, or C_3 - C_7 cycloalkyl or cycloalkenyl;

25

30

wherein R_4 is independently H; $-(CH_2)_uOR_5$; $-(CH_2)_tCONR_5R_6$; $-(CH_2)_uNR_5COR_5$; $-(CH_2)_tCOR_7$; $-(CH_2)_tCO_2R_5$; $-(CH_2)_uNR_5R_6$; $-(CH_2)_uCN$; straight chained or branched C_1 - C_7 alkyl; straight chained or branched C_2 - C_7 alkenyl or alkynyl; or C_3 - C_7 cycloalkyl or cycloalkenyl; phenyl or C_1 - C_6 phenylalkyl; wherein the phenyl or C_1 - C_6 phenylalkyl may be substituted with one or more of F, Cl, Br, -CN, -NO₂, -NR₅R₆, -SO₂R₅, $-(CH_2)_nCOR_7$, $-(CH_2)_nOR_5$, $-(CH_2)_nCONR_5R_6$, $-(CH_2)_nNR_5COR_5$, $-(CH_2)_nCO_2R_5$, $-(CH_2)_nSO_2NR_5R_6$, straight chained or branched C_1 - C_7 alkyl, perfluoroalkyl, polyfluoroalkyl, or

290

aminoalkyl, straight chained or branched C₂-C₇ alkenyl or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

5 or R₃ and R₄ taken together with the nitrogen atom to which they are attached are 1-azetidiny, 1-pyrrolidinyl, 1-piperidinyl, or 1H-azepanyl, wherein the 1-azetidiny, 1-pyrrolidinyl, 1-piperidinyl, or 1H-azepanyl is substituted with one or more of

10 F, -CN, -(CH₂)_nNR₅R₆, -SO₂R₅, -(CH₂)_nCOR₇, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, -(CH₂)_nCO₂R₅, straight chained or branched C₁-C₇ alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C₂-C₇ alkenyl or alkynyl,

15 or C₃-C₇ cycloalkyl or cycloalkenyl, or phenyl or heteroaryl; wherein if -(CH₂)_nNR₅R₆, -(CH₂)_nOR₅, or -(CH₂)_nNR₅COR₅ are in the 2-position, then n is not 0; wherein the phenyl or heteroaryl may be substituted with one or more of F, Cl, Br, -CN, -NO₂,

20 NR₅R₆, -SO₂R₅, -(CH₂)_nCOR₇, -(CH₂)_nOR₅, -(CH₂)_nCONR₅R₆, -(CH₂)_nNR₅COR₅, -(CH₂)_nCO₂R₅, -(CH₂)_nSO₂NR₅R₆, straight chained or branched C₁-C₇ alkyl, perfluoroalkyl, polyfluoroalkyl, or aminoalkyl, straight chained or branched C₂-C₇ alkenyl

25 or alkynyl, or C₃-C₇ cycloalkyl or cycloalkenyl;

or R₃ and R₄ taken together with the nitrogen atom to which they are attached are morpholinyl, thiomorpholinyl, [1,4]oxazepanyl, [1,4]thiazepanyl,

30 piperazinyl, or [1,4]diazepanyl, wherein the morpholinyl, thiomorpholinyl, [1,4]oxazepanyl, [1,4]thiazepanyl, piperazinyl, or [1,4]diazepanyl is optionally substituted with straight chained or branched C₁-C₅ alkyl or (CH₂)_tOR₅; and wherein the

291

nitrogen atom of the piperazinyl or
[1,4]diazepanyl ring may be optionally substituted
with $-(CH_2)_uOR_5$; $-COR_5$; $-CO_2R_5$; straight chained or
branched C_1-C_5 alkyl; or phenyl; wherein the phenyl
5 may be substituted with one or more of F, Cl, Br, -
CN, $-NO_2$, $-NR_5R_6$, $-(CH_2)_nOR_5$, straight chained or
branched C_1-C_3 alkyl, perfluoroalkyl, polyfluoroalkyl,
or aminoalkyl;

10 wherein R_{17} is straight chained or branched C_1-C_4
alkyl, perfluoroalkyl, or polyfluoroalkyl;

wherein m is 0 or 1;

15 wherein each p independently is an integer from 0 to
2 inclusive;

wherein each r independently is an integer from 0 to
3 inclusive;

20 wherein each s independently is an integer from 1 to
6 inclusive;

wherein t is an integer from 1 to 4 inclusive;

25 wherein each u independently is an integer from 2 to
4 inclusive;

wherein v is 1 or 2;

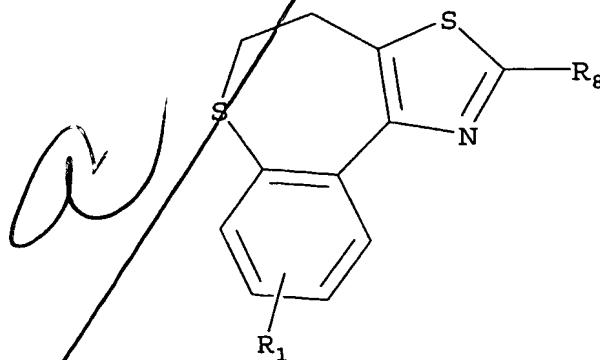
30 with the proviso that when v is 2, m is 0;

wherein z is an integer from 2 to 7;

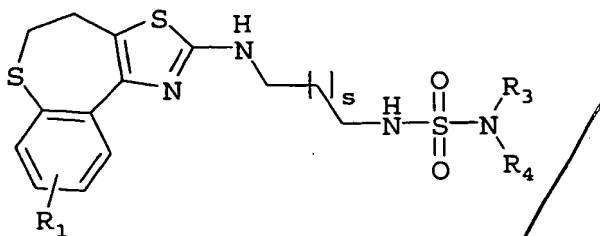
292

or a pharmaceutically acceptable salt thereof.

23. The compound of claim ~~22~~, wherein the compound comprises the (+) enantiomer.
24. The compound of claim 22, wherein the compound comprises the (-) enantiomer.
25. The compound of claim 22 having the structure:

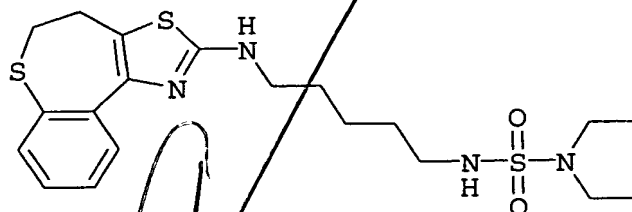
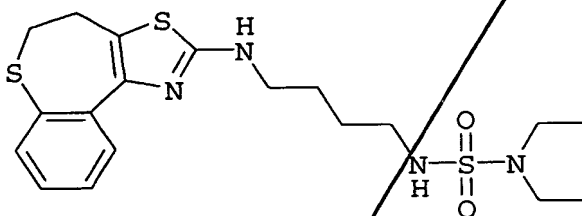


26. The compound of claim 25 having the structure:

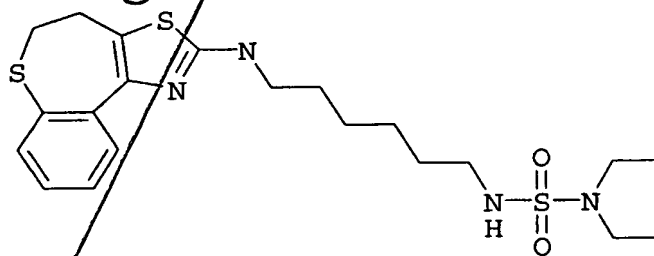


27. The compound of claim 26 having the structure:

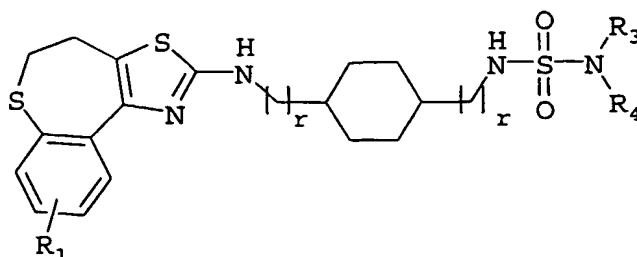
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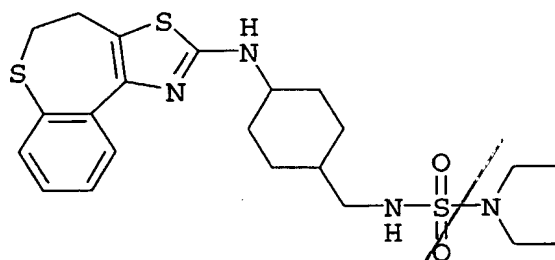
or



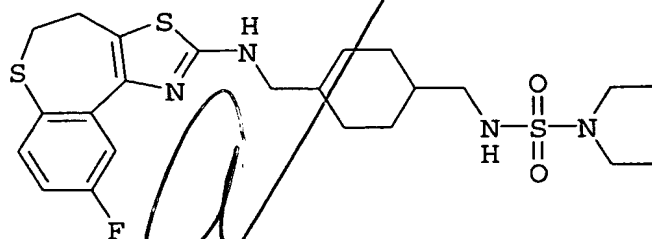
28. The compound of claim ²⁹⁴25 having the structure:



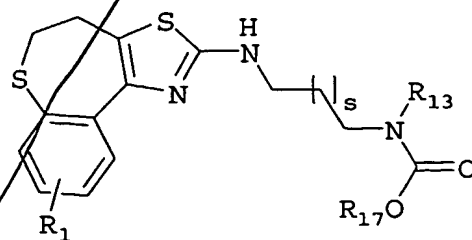
- 5 29. The compound of claim 28 having the structure:



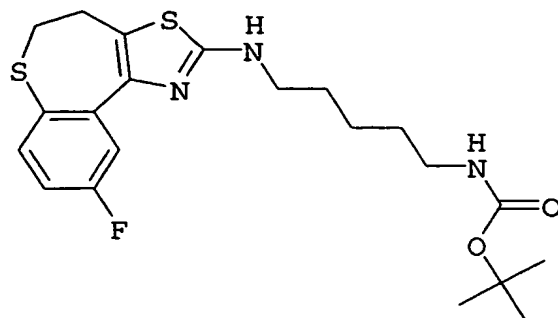
or



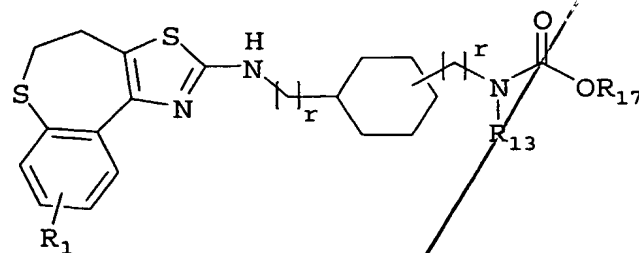
30. The compound of claim 25 having the structure:



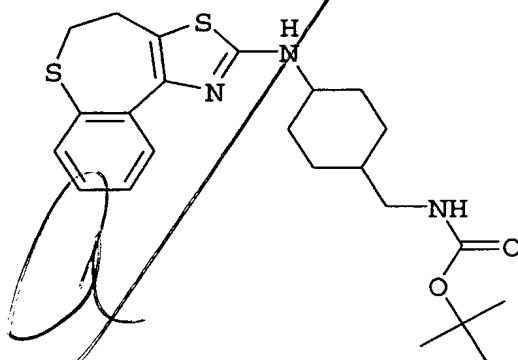
31. The compound of claim ²⁹⁵~~30~~ having the structure:



- 5 32. The compound of claim 25 having the structure:



33. The compound of claim 32 having the structure:



296

34. A pharmaceutical composition comprising a therapeutically effective amount of the compound of claim 1, 15, or 22 and a pharmaceutically acceptable carrier.
35. A pharmaceutical composition of claim 34, wherein the amount of the compound is an amount from about 0.01 mg to about 800 mg.
36. A pharmaceutical composition of claim 35, wherein the amount of the compound is an amount from about 0.01 mg to about 500 mg.
37. A pharmaceutical composition of claim 36, wherein the amount of the compound is an amount ~~from~~ about 0.01 mg to about 250 mg.
38. A pharmaceutical composition of claim 37, wherein the amount of the compound is an amount from about 0.1 mg to about 60 mg.
39. A pharmaceutical composition of claim 38, wherein the amount of the compound is an amount from about 1 mg to about 20 mg.
40. The pharmaceutical composition of claim 34, wherein the carrier is a liquid and the composition is a solution.
41. The pharmaceutical composition of claim 34, wherein the carrier is a solid and the composition is a tablet.

42. The pharmaceutical ²⁹⁷ composition of claim 34, wherein the carrier is a ~~gel~~ and the composition is a suppository.

5 43. A pharmaceutical composition made by combining a therapeutically effective amount of the compound of claim 1, 15, or 22 and a pharmaceutically acceptable carrier.

10 44. A process for making a pharmaceutical composition comprising combining a therapeutically effective amount of the compound of claim 1, ~~15~~, or 22 and a pharmaceutically acceptable carrier.

15 45. Use of the chemical compound of claim 1, ~~15~~, or 22 for the preparation of a pharmaceutical composition for treating an abnormality, wherein the abnormality is alleviated by decreasing the activity of a human Y5 receptor.

20 46. Use of the compound of claim ~~45~~, wherein the abnormality is an eating disorder, obesity, bulimia nervosa, a sexual disorder, a reproductive disorder, depression, an epileptic seizure, hypertension, cerebral hemorrhage, congestive heart failure, or a sleep disturbance.

25